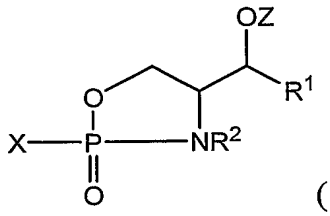
CLAIMS:

1. An oxazaphospholane compound of the following formula (1):



(1)

wherein R^1 represents a hydrophobic group, R^2 represent a hydrogen atom or hydrophobic group, Z represents a protecting group and X represents a leaving group.

2. The oxazaphospholane compound of Claim 1, wherein

- R^1 represents a C_1-C_{24} aliphatic moiety which may be saturated or unsaturated, branched or linear chain, optionally containing an aliphatic ring; and
- R^2 represents a hydrogen atom or a C_1-C_{24} aliphatic moiety selected from saturated or unsaturated, branched or linear aliphatic chain, said aliphatic chain optionally containing an aliphatic ring; the aliphatic chain and aliphatic ring optionally substituted with one or more substituents containing a heteroatom selected from oxygen, halogen, nitrogen and sulfur.

3. The oxazaphospholane compound of Claim 2, wherein R^1 represents a C_8-C_{24} aliphatic moiety.

4. The oxazaphospholane compound of Claim 2 or 3, wherein R^2 represents a hydrogen atom or a saturated or unsaturated C_8-C_{24} aliphatic moiety.

5. The oxazaphospholane compound of Claim 4, wherein R^2 represents a hydrogen atom.

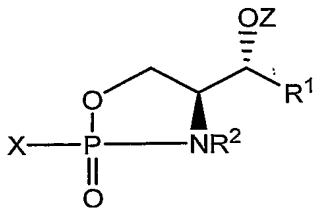
6. The oxazaphospholane compound of any one of Claims 1 to 5, wherein X represents a halogen atom.

7. The oxazaphospholane compound of Claim 6, wherein X represents Cl.

8. The oxazaphospholane compound of any one of Claims 1 to 7, wherein Z represents a $Si(R^5)_3$ group in which R^5 may be the same or different in the same compound and represent a C_1-C_6 branched or straight alkyl group or an aryl group.

9. The oxazaphospholane compound of Claim 8, wherein said Z represents $Si(Ph)_2(t\text{-}Bu)$.

10. An oxazaphospholane compound of the following formula (1a):



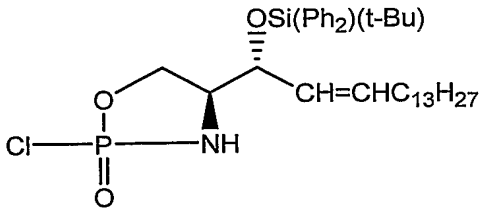
(1a)

being the 2S,3R stereoisomer of the compound of any one of Claims 1 to 9, wherein R^1, R^2, X and Z are as defined.

11. The oxazaphospholane compound of any one of Claims 1 to 8, wherein R^1 is (*E*)-$CH{=}CHC_{13}H_{27}$, R^2 is hydrogen, X is Cl and Z is $Si(Ph)_2(t\text{-}Bu)$.

12. The oxazaphospholane compound of any one of Claims 1 to 8, wherein R^1 is (*E*)-$CH{=}CHC_{13}H_{27}$, R^2 is hydrogen, X is substituted with the group $-O\text{-}CH_2\text{-}CH_2\text{-}N^+(CH_3)_3$.

13. The oxazaphospholane compound of any one of Claims 1 to 8, being the (*E*)-geometrical isomer of the compound of the following formula (1b):

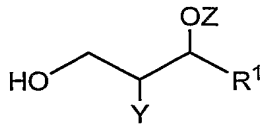


(1b)

14. The oxazaphospholane compound of any one of Claims 1 to 13, being an isolated stable compound.

15. A process for the manufacture of an oxazaphospholane compound of formula (1) as defined in any one of Claims 1 to 14, the process comprises

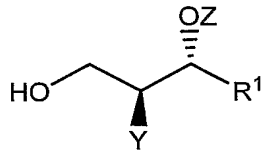
reacting a phosphorylating reagent with a 3-O-protected sphingoid compound of the following formula (2):



(2)

wherein R^1, Z and X are as defined and Y is an amine or an amino group.

16. The process of Claim 15, comprising reacting said phosphorylating reagent with a 2S, 3R stereoisomer of the following formula (2a):



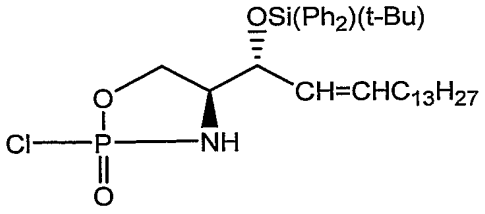
(2a)

17. The process of Claim 15 or 16, wherein said phosphorylating reagent is reacted with the protected sphingoid compound in which Y represents NH_2.

18. The process of any one of Claims 15 to 17, wherein said phosphorylating reagent is selected from POW_3, wherein W represents a halogen atom, borate, ethylene chlorophosphite, methyl phosphodichloridite, chloro-N,N-diisopropylaminomethyxophosphite or $[(isopropyl)_2N]_2POCH_2CH_2CN$.

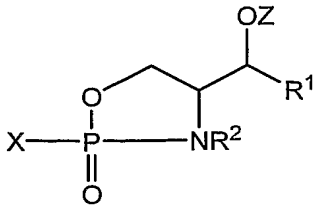
19. The process of Claim 18, wherein said phosphorylating reagent is $POCl_3$.

20. The process of any one of Claims 15 to 19, for the synthesis of the (*E*)-geometrical isomer of the compound of the following formula (1b)



(1b)

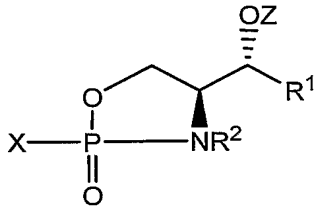
21. An oxazaphospholane compound of the following formula (1):



(1)

wherein R^1, R^2, Z and X are as defined in any one of Claims 1 to 14, obtainable by the process of any one of Claims 15 to 20.

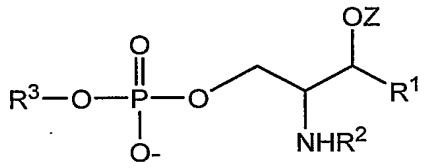
22. An oxazaphospholane compound of the following formula (1a):



(1a)

wherein R^1, R^2, Z and X are as defined in any one of Claims 1 to 14, obtainable by the process of any one of Claims 15 to 20.

23. A process making use of the oxazaphospholane of formula (1) as defined in any one of Claims 1 to 14, 21, and 22, for the manufacture of an acyclic oxazaphospholane derivative having the following formula (3):



(3)

wherein R^1, R^2 and Z are as defined, and R^3 represent a hydrogen atom; an aliphatic moiety selected from aliphatic chain, amino aliphatic chain, heteroatom comprising aliphatic chain, aliphatic chain comprising a cyclic ring which ring may be saturated, partially saturated ring or an aryl group, said aliphatic chain may be branched or straight, saturated or unsaturated chain; or ether, polyether, or sugar moiety;

the process comprises the step of reacting said oxazaphospholane of formula (1) with an alcohol or the formula R^3OH where R^3 is as defined, followed by treatment with an aqueous base or aqueous acid.

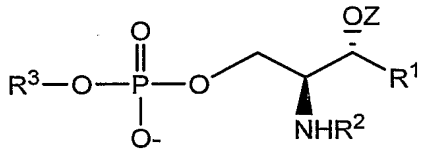
24. The process of Claim 23, wherein said alcohol is selected from choline, N-protected ethanolamines, oligoethyleneglycol monoethers, polyethyleneglycol monoehthers, polyethers, or sugar moiety.

25. The process of Claim 24, wherein said alcohol is choline.

26. The process of any one of Claims 23 to 25, wherein said aqueous base is selected from trialkylamine, alkali metal- or alkali earth metal- hydroxide, carbonate or bicarbonate.

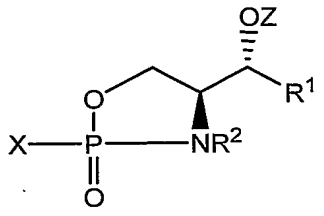
27. The process of any one of Claims 23 to 26, wherein said aqueous acid is a strong mineral acid or a Lewis acid.

28. The process of any one of Claims 23 to 27 for the manufacture of the 2S, 3R stereoisomer of formula (3a):



(3a)

the process making use of a compound of formula (1a)

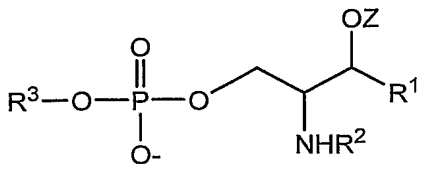


(1a)

wherein R^1, R^2, R^3, X and Z are as defined.

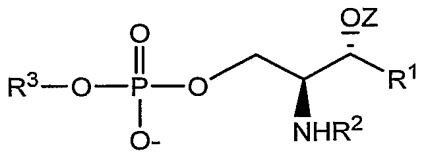
29. The process of any one of Claims 23 to 28, comprising reacting said compound of formula (3) or (3a) with a protecting group removing reagent to replace the protecting group Z with a hydrogen atom.

30. An acyclic oxazaphospholane derivative having the following formula (3):



(3)

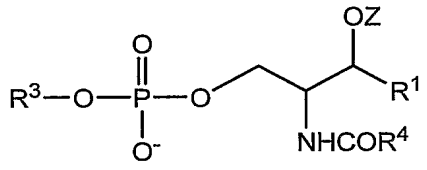
or its 2S, 3R stereoisomer of formula (3a):



(3a)

obtained by the process of any one of Claims 23 to 29, wherein R^1, R^2, R^3 and Z are as defined.

31. A process making use of the oxazaphospholane of formula (1) as defined in any one of Claims 1 to 14, 21, and 22, wherein R^2 is a hydrogen atom, for the manufacture of an acyclic oxazaphospholane derivative having the following formula (4):



(4)

wherein R^1, and Z are as defined, R^3 represent a hydrogen atom; an aliphatic moiety selected from aliphatic chain, amino aliphatic chain, heteroatom comprising aliphatic chain, aliphatic chain comprising a cyclic ring which ring may be saturated, partially saturated or aromatic ring, said aliphatic chain may be branched or straight, saturated or unsaturated chain; or an ether, polyether, or sugar moiety; and R^4 is hydrophobic group;

the process comprises

preparing an oxazaphospholane of formula (3) according to any one of Claims 23 to 27;

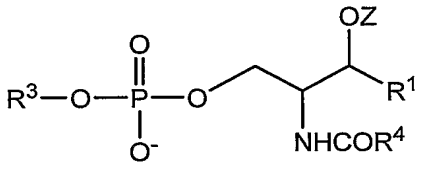
reacting said oxazaphospholane of formula (3) with an acyl compound of formula $R^4C(O)Q$, wherein Q is a leaving group.

32. The process of Claim 31, wherein said R^4 represents a C_1-C_{24} aliphatic moiety selected from saturated or unsaturated, branched or linear aliphatic chain, said aliphatic chain optionally containing an aliphatic ring; the aliphatic chain or ring optionally substituted with one or more substituents containing a heteroatom selected from oxygen, halogen, nitrogen and sulfur.

33. The process of Claim 32, wherein said R^4 represents a saturated or unsaturated C_8-C_{24} aliphatic chain.

34. The process of any one of Claims 31 to 33, for the manufacture of the 2S, 3R stereoisomer of the compound of formula (4), said process making use of the 2S, 3R stereoisomer of the compound of formula (1a).

35. An acyclic oxazaphospholane derivative having the following formula (4):

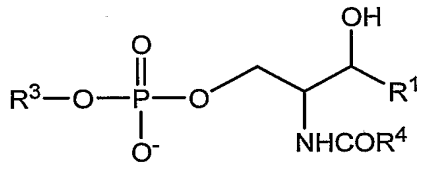


(4)

or its 2S, 3R stereoisomer;

obtained by the process of any one of Claims 30 to 36, wherein R^1, R^3, R^4 and Z are as defined.

36. A process making use of the oxazaphospholane of formula (1) as defined in any one of Claims 1 to 14, 21, and 22, wherein R^2 is a hydrogen atom, for the manufacture of a sphingomyelin derivative having the following formula (5):

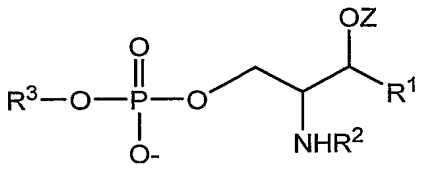


(5)

where R^1 and R^3 are as defined and R^4 is as defined in any one of Claims 31 to 34;

the process comprises:

reacting said oxazaphospholane of formula (1) with an alcohol or the formula R^3OH where R^3 is as defined, followed by treatment with an aqueous base or aqueous acid to obtain an acyclic oxazaphospholane having the following formula (3):



(3)

wherein R^1, R^2 and Z are as defined, and R^3 represent a hydrogen atom; an aliphatic moiety selected from aliphatic chain, amino aliphatic chain, heteroatom comprising aliphatic chain, aliphatic chain comprising a cyclic ring which ring may be saturated, partially saturated ring or an aryl group, said aliphatic chain may be branched or straight, saturated or unsaturated chain; or ether, polyether, or sugar moiety;

reacting said oxazaphospholane of formula (3) with an acyl compound of formula $R^4C(O)Q$, wherein Q is a leaving group and R^4 represents a C_1-C_{24} aliphatic moiety selected from saturated or unsaturated, branched or linear aliphatic chain, said aliphatic chain optionally containing an aliphatic ring; the aliphatic chain or ring optionally substituted with one or more substituents containing a heteroatom selected from oxygen, halogen, nitrogen and sulfur;

reacting said oxazaphospholane of formula (4) with a protecting group removing agent to obtain a said sphingomyelin.

37. The process of Claim 36, for the manufacture of the 2S, 3R stereoisomer of the compound of formula (5), said process making use of the 2S, 3R stereoisomer of the compound of formula (1a).

38. The process of Claim 36 or 37, wherein Z in said compound of formula (4) is $Si(Ph_2)(t\text{-}Bu)$.

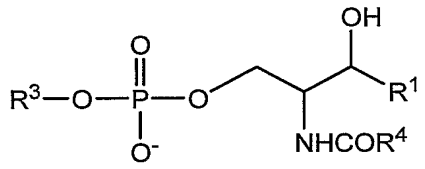
39. The process of any one of Claims 36 to 38, wherein said protecting group is removed by the use of hydrogen fluoride or $(R^6)_4NF$, wherein R^6 is a C_1-C_6 alkyl group.

40. The process of Claim 39, wherein R^6 is n-butyl.

41. The process of any one of Claims 15 to 20, 23 to 29, 31 to 34 and 36 to 40 being a single pot process having as a starting material the compound of formulae (1), (1a), (2) or (2a).

42. The process of any one of Claims 36 to 41, for large scale production of said sphingomyelin of formula (1) or (1a).

43. A sphingomyelin having the following formula (5):

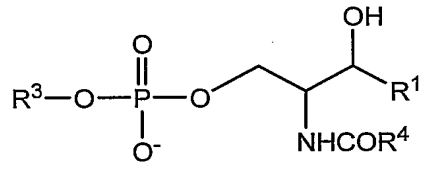


(5)

or its 2S, 3R stereoisomer

obtainable by the process of any one of Claims 36 to 42, wherein said R^1, R^3 and R^4 are as defined, provided that when said R^2 represents a palmitoyl or stearoyl group, R^1 cannot represent trans-$CH{=}CHC_{13}H_{27}$ and R^3 cannot represent $CH_2CH_2N^+(CH_3)_3$.

44. A sphingomyelin having the following formula (5):

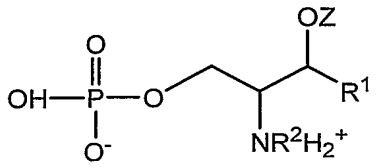


(5)

or its 2S, 3R stereoisomer

obtained by the process of any one of Claims 36 to 42, wherein said R^1, R^3 and R^4 are as defined.

45. A process making use of the oxazaphospholane of formula (1) as defined in any one of Claims 1 to 14, 21, and 22, for the manufacture of an acyclic oxazaphospholane having the following formula (6):



(6)

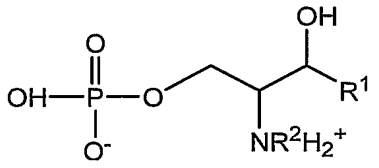
wherein R^1, R^2 and Z are as defined, the process comprises reacting said oxazaphospholane of formula (1) with an aqueous base or an aqueous acid.

46. The process of Claim 45, for the manufacture of the 2S, 3R stereoisomer of the compound of formula (6), said process making use of the 2S, 3R stereoisomer of the compound of formula (1a).

47. The process of Claim 45 or 46, wherein said aqueous base is selected from trialkylamine, alkali metal- and alkali earth metal- hydroxide, carbonate or bicarbonate

48. The process of Claim 45 or 46, wherein said aqueous acid is a strong mineral acid or a Lewis acid.

49. The process of any one of Claims 45 to 46, for obtaining an unprotected derivative of the compound of formula (6), said derivative having the formula (7):



(7)

wherein R^1 and R^2 are as defined, the process comprises preparing reacting said oxazaphospholane of formula (6) with protecting group removing agent to obtain a said unprotected derivative.

50. The process of Claim 49, for the manufacture of the 2S, 3R stereoisomer of the compound of formula (7), said process making use of the 2S, 3R stereoisomer of the compound of formula (1a).

51. The process of any one of Claims 45 to 50, wherein Z in said compound of formula (4) is $Si(Ph_2)$(t-Bu).

52. The process of any one of Claims 49 to 51, wherein said protecting group is removed by the use of hydrogen fluoride or $(R^6)_4NF$, wherein R^6 is a C_1-C_6 alkyl group.

53. The process of Claim 53, wherein R^6 is n-butyl.

54. An oxazaphospholane having the formula (6), or (6a) or its unprotected derivative of formula (7) or (7a) obtained by the process of any one of Claims 38 to 41.

55. A pharmaceutical composition comprising a sphingomyelin according to Claim 43 or 44.

56. Use of a sphingomyelin according to Claim 43 or 44 for the preparation of a pharmaceutical composition.